

(19) 世界知的所有権機関  
国際事務局



(43) 国際公開日  
2000年11月30日 (30.11.2000)

PCT

(10) 国際公開番号  
WO 00/71517 A1

(51) 国際特許分類: C07D 209/42, 207/36,  
233/96, 263/22, 307/33, 307/46, 401/12, 401/14, 405/12,  
405/14, 407/12, 409/12, 409/14, 413/12, 413/14, 417/12,  
417/14, 491/107, A61K 31/404, 31/4166, 31/421, 31/438,  
31/4525, 31/453, 31/4535, 31/454, 31/496, 31/5377, A61P  
25/24, 43/00, 25/00, 9/00, 1/00

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神崎康治 (KANZAKI, Kouji) [JP/JP]; 〒573-1153 大阪  
府枚方市招提大谷二丁目25番1号 ウェルファイド株  
式会社 創薬研究所内 Osaka (JP).

(21) 国際出願番号: PCT/JP00/03279

(74) 代理人: 高島 一(TAKASHIMA, Hajime); 〒541-0046  
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Osaka (JP).

(22) 国際出願日: 2000年5月22日 (22.05.2000)

(25) 国際出願の言語: 日本語

(26) 国際公開の言語: 日本語

(30) 優先権データ:  
特願平11/142750 1999年5月24日 (24.05.1999) JP  
特願平11/166160 1999年6月14日 (14.06.1999) JP  
特願平11/277384 1999年9月29日 (29.09.1999) JP  
特願2000/18080 2000年1月25日 (25.01.2000) JP

(81) 指定国 (国内): AE, AG, AL, AM, AT, AU, AZ, BA, BB,  
BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM,  
DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,  
MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,  
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,  
UG, US, UZ, VN, YU, ZA, ZW.

(84) 指定国 (広域): ARIPO 特許 (GH, GM, KE, LS, MW,  
MZ, SD, SL, SZ, TZ, UG, ZW), ユーラシア特許 (AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM), ヨーロッパ特許  
(AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT,  
LU, MC, NL, PT, SE), OAPI 特許 (BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

(71) 出願人 (米国を除く全ての指定国について): ウェ  
ルファイド株式会社 (WELFIDE CORPORATION)  
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目6番9号 Osaka (JP).

(72) 発明者: および

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(MINOGUCHI, Masanori) [JP/JP]. 森尾保徳 (MORIO,

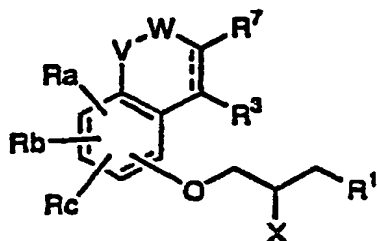
添付公開書類:

— 国際調査報告書

2文字コード及び他の略語については、定期発行される  
各PCTガゼットの巻頭に掲載されている「コードと略語  
のガイダンスノート」を参照。

(54) Title: PHENOXYPROPYLAMINE COMPOUNDS

(54) 発明の名称: フェノキシプロピルアミン化合物



(I)

(57) Abstract: Phenoxypropylamine compounds represented by general formula (I), optically active isomers thereof or pharmaceutically acceptable salts thereof and hydrates of the same which have an affinity selectively for 5-HT<sub>1A</sub> receptor, simultaneously show an antagonistic activity, and inhibit the reuptake of 5-HT, thereby being usable as antidepressant agents quickly achieving an antidepressant effect wherein each symbol is as defined in the description.

09/990389  
685066/60

## PATENT COOPERATION TREATY

PCT

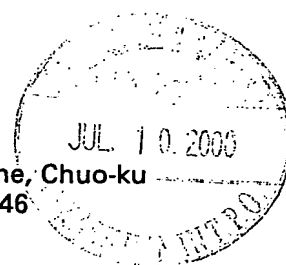
NOTIFICATION OF RECEIPT OF  
RECORD COPY

(PCT Rule 24.2(a))

From the INTERNATIONAL BUREAU

To:

TAKASHIMA, Hajime  
Yuki Bldg.  
3-9, Hiranomachi 3-chome, Chuo-ku  
Osaka-shi, Osaka 541-0046  
JAPON



Date of mailing (day/month/year) 20 June 2000 (20.06.00)	IMPORTANT NOTIFICATION
Applicant's or agent's file reference 09354	International application No. PCT/JP00/03279

The applicant is hereby notified that the International Bureau has received the record copy of the international application as detailed below.

Name(s) of the applicant(s) and State(s) for which they are applicants:

WELFIDE CORPORATION (for all designated States except US)  
NISHIYAMA, Akira et al (for US)

International filing date : 22 May 2000 (22.05.00)  
Priority date(s) claimed : 24 May 1999 (24.05.99)  
14 June 1999 (14.06.99)  
29 September 1999 (29.09.99)  
25 January 2000 (25.01.00)

Date of receipt of the record copy  
by the International Bureau : 05 June 2000 (05.06.00)

List of designated Offices :

AP : GH,GM,KE,LS,MW,MZ,SD,SL,SZ,TZ,UG,ZW  
EA : AM,AZ,BY,KG,KZ,MD,RU,TJ,TM  
EP : AT,BE,CH,CY,DE,DK,ES,FI,FR,GB,GR,IE,IT,LU,MC,NL,PT,SE  
OA : BF,BJ,CF,CG,CI,CM,GA,GN,GW,ML,MR,NE,SN,TD,TG  
National : AE,AG,AL,AM,AT,AU,AZ,BA,BB,BG,BR,BY,CA,CH,CN,CR,CU,CZ,DE,DK,DM,DZ,EE,ES,  
FI,GB,GD,GE,GH,GM,HR,HU,ID,IL,IN,IS,JP,KE,KG,KR,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,  
MK,MN,MW,MX,MZ,NO,NZ,PL,PT,RO,RU,SD,SE,SG,SI,SK,SL,TJ,TM,TR,TT,TZ,UA,UG,US,UZ,VN,  
YU,ZA,ZW

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. (41-22) 740.14.35	Authorized officer:  Shinji IGARASHI Telephone No. (41-22) 338.83.38
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## Continuation of Form PCT/IB/301

## NOTIFICATION OF RECEIPT OF RECORD COPY

Date of mailing (day/month/year) 20 June 2000 (20.06.00)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference 09354	International application No. PCT/JP00/03279

**ATTENTION**

The applicant should carefully check the data appearing in this Notification. In case of any discrepancy between these data and the indications in the international application, the applicant should immediately inform the International Bureau.

In addition, the applicant's attention is drawn to the information contained in the Annex, relating to:

- ☒ time limits for entry into the national phase
- ☒ confirmation of precautionary designations
- ☒ requirements regarding priority documents

A copy of this Notification is being sent to the receiving Office and to the International Searching Authority.

**INFORMATION ON TIME LIMITS FOR ENTERING THE NATIONAL PHASE**

The applicant is reminded that the "national phase" must be entered before each of the designated Offices indicated in the Notification of Receipt of Record Copy (Form PCT/IB/301) by paying national fees and furnishing translations, as prescribed by the applicable national laws.

The time limit for performing these procedural acts is **20 MONTHS** from the priority date or, for those designated States which the applicant elects in a demand for international preliminary examination or in a later election, **30 MONTHS** from the priority date, provided that the election is made before the expiration of 19 months from the priority date. Some designated (or elected) Offices have fixed time limits which expire even later than 20 or 30 months from the priority date. In other Offices an extension of time or grace period, in some cases upon payment of an additional fee, is available.

In addition to these procedural acts, the applicant may also have to comply with other special requirements applicable in certain Offices. It is the applicant's responsibility to ensure that the necessary steps to enter the national phase are taken in a timely fashion. Most designated Offices do not issue reminders to applicants in connection with the entry into the national phase.

For detailed information about the procedural acts to be performed to enter the national phase before each designated Office, the applicable time limits and possible extensions of time or grace periods, and any other requirements, see the relevant Chapters of Volume II of the PCT Applicant's Guide. Information about the requirements for filing a demand for international preliminary examination is set out in Chapter IX of Volume I of the PCT Applicant's Guide.

GR and ES became bound by PCT Chapter II on 7 September 1996 and 6 September 1997, respectively, and may, therefore, be elected in a demand or a later election filed on or after 7 September 1996 and 6 September 1997, respectively, regardless of the filing date of the international application. (See second paragraph above.)

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

**CONFIRMATION OF PRECAUTIONARY DESIGNATIONS**

This notification lists only specific designations made under Rule 4.9(a) in the request. It is important to check that these designations are correct. Errors in designations can be corrected where precautionary designations have been made under Rule 4.9(b). The applicant is hereby reminded that any precautionary designations may be confirmed according to Rule 4.9(c) before the expiration of 15 months from the priority date. If it is not confirmed, it will automatically be regarded as withdrawn by the applicant. There will be no reminder and no invitation. Confirmation of a designation consists of the filing of a notice specifying the designated State concerned (with an indication of the kind of protection or treatment desired) and the payment of the designation and confirmation fees. Confirmation must reach the receiving Office within the 15-month time limit.

**REQUIREMENTS REGARDING PRIORITY DOCUMENTS**

For applicants who have not yet complied with the requirements regarding priority documents, the following is recalled.

Where the priority of an earlier national, regional or international application is claimed, the applicant must submit a copy of the said earlier application, certified by the authority with which it was filed ("the priority document") to the receiving Office (which will transmit it to the International Bureau) or directly to the International Bureau, before the expiration of 16 months from the priority date, provided that any such priority document may still be submitted to the International Bureau before that date of international publication of the international application, in which case that document will be considered to have been received by the International Bureau on the last day of the 16-month time limit (Rule 17.1(a)).

Where the priority document is issued by the receiving Office, the applicant may, instead of submitting the priority document, request the receiving Office to prepare and transmit the priority document to the International Bureau. Such request must be made before the expiration of the 16-month time limit and may be subjected by the receiving Office to the payment of a fee (Rule 17.1(b)).

If the priority document concerned is not submitted to the International Bureau or if the request to the receiving Office to prepare and transmit the priority document has not been made (and the corresponding fee, if any, paid) within the applicable time limit indicated under the preceding paragraphs, any designated State may disregard the priority claim, provided that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity to furnish the priority document within a time limit which is reasonable under the circumstances.

Where several priorities are claimed, the priority date to be considered for the purposes of computing the 16-month time limit is the filing date of the earliest application whose priority is claimed.

## PATENT COOPERATION TREATY

PCT

NOTIFICATION CONCERNING  
SUBMISSION OR TRANSMITTAL  
OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

From the INTERNATIONAL BUREAU

To:

TAKASHIMA, Hajime  
Yuki Bldg.  
3-9, Hiranomachi 3-chome, Chuo-ku  
Osaka-shi, Osaka 541-0046  
JAPON

Date of mailing (day/month/year) 20 July 2000 (20.07.00)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference 09354	
International application No. PCT/JP00/03279	International filing date (day/month/year) 22 May 2000 (22.05.00)
International publication date (day/month/year) Not yet published	Priority date (day/month/year) 24 May 1999 (24.05.99)
Applicant WELFIDE CORPORATION et al	

- The applicant is hereby notified of the date of receipt (except where the letters "NR" appear in the right-hand column) by the International Bureau of the priority document(s) relating to the earlier application(s) indicated below. Unless otherwise indicated by an asterisk appearing next to a date of receipt, or by the letters "NR", in the right-hand column, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).
- This updates and replaces any previously issued notification concerning submission or transmittal of priority documents.
- An asterisk(\*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b). In such a case, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.
- The letters "NR" appearing in the right-hand column denote a priority document which was not received by the International Bureau or which the applicant did not request the receiving Office to prepare and transmit to the International Bureau, as provided by Rule 17.1(a) or (b), respectively. In such a case, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

<u>Priority date</u>	<u>Priority application No.</u>	<u>Country or regional Office or PCT receiving Office</u>	<u>Date of receipt of priority document</u>
24 May 1999 (24.05.99) ✓	11/142750 ✓	JP	07 July 2000 (07.07.00)
14 June 1999 (14.06.99) ✓	11/166160 ✓	JP	07 July 2000 (07.07.00)
29 Sept 1999 (29.09.99) ✓	11/277384 ✓	JP	07 July 2000 (07.07.00)
25 Janu 2000 (25.01.00) ✓	2000/18080 ✓	JP	07 July 2000 (07.07.00)

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer  Taïeb Akremi  Telephone No. (41-22) 338.83.38
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# PATENT COOPERATION TREATY

WO 00/71517  
PCT/JP00/03279

## PCT

### NOTICE INFORMING THE APPLICANT OF THE COMMUNICATION OF THE INTERNATIONAL APPLICATION TO THE DESIGNATED OFFICES

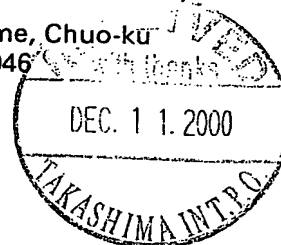
(PCT Rule 47.1(c), first sentence)

From the INTERNATIONAL BUREAU

To:

TAKASHIMA, Hajime  
Yuki Bldg.

3-9, Hiranomachi 3-chome, Chuo-ku  
Osaka-shi, Osaka 541-0046  
JAPON



Date of mailing (day/month/year) 30 November 2000 (30.11.00)		
Applicant's or agent's file reference 09354		<b>IMPORTANT NOTICE</b>
International application No. PCT/JP00/03279	International filing date (day/month/year) 22 May 2000 (22.05.00)	Priority date (day/month/year) 24 May 1999 (24.05.99)
Applicant WELFIDE CORPORATION et al		

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:  
AG,AU,DZ,KR,MZ,US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:

AE,AL,AM,AP,AT,AZ,BA,BB,BG,BR,BY,CA,CH,CN,CR,CU,CZ,DE,DK,DM,EA,EE,EP,ES,FI,GB,GD,  
GE,GH,GM,HR,HU,ID,IL,IN,IS,JP,KE,KG,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MN,MW,MX,  
NO,NZ,OA,PL,PT,RO,RU,SD,SE,SG,SI,SK,SL,TJ,TM,TR,TT,TZ,UA,UG,UZ,VN,YU,ZA,ZW

The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).

3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on 30 November 2000 (30.11.00) under No. WO 00/71517

#### REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a demand for international preliminary examination must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

#### REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))

If the applicant wishes to proceed with the international application in the national phase, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer  <p style="text-align: center;">J. Zahra</p> Telephone No. (41-22) 338.83.38
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## PATENT COOPERATION TREATY

PCT

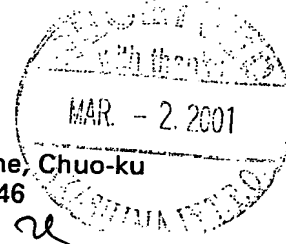
INFORMATION CONCERNING ELECTED  
OFFICES NOTIFIED OF THEIR ELECTION

(PCT Rule 61.3)

From the INTERNATIONAL BUREAU

To:

TAKASHIMA, Hajime  
Yuki Bldg.  
3-9, Hiranomachi 3-chome, Chuo-ku  
Osaka-shi, Osaka 541-0046  
JAPON



Date of mailing (day/month/year) 15 February 2001 (15.02.01)		IMPORTANT INFORMATION	
Applicant's or agent's file reference 09354			
International application No. PCT/JP00/03279	International filing date (day/month/year) 22 May 2000 (22.05.00)	Priority date (day/month/year) 24 May 1999 (24.05.99)	
Applicant WELFIDE CORPORATION et al			

1. The applicant is hereby informed that the International Bureau has, according to Article 31(7), notified each of the following Offices of its election:

AP : GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW  
EP : AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  
National : AU, BG, CA, CN, CZ, DE, IL, JP, KR, MN, NO, NZ, PL, RO, RU, SE, SK, US

2. The following Offices have waived the requirement for the notification of their election; the notification will be sent to them by the International Bureau only upon their request:

EA : AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
OA : BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
National : AE, AG, AL, AM, AT, AZ, BA, BB, BR, BY, CH, CR, CU, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IN, IS, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MZ, PT, SD, SG, SI, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

3. The applicant is reminded that he must enter the "national phase" before the expiration of 30 months from the priority date before each of the Offices listed above. This must be done by paying the national fee(s) and furnishing, if prescribed, a translation of the international application (Article 39(1)(a)), as well as, where applicable, by furnishing a translation of any annexes of the international preliminary examination report (Article 36(3)(b) and Rule 74.1).

Some offices have fixed time limits expiring later than the above-mentioned time limit. For detailed information about the applicable time limits and the acts to be performed upon entry into the national phase before a particular Office, see Volume II of the PCT Applicant's Guide.

The entry into the European regional phase is postponed until 31 months from the priority date for all States designated for the purposes of obtaining a European patent.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer:  Henrik Nyberg  Telephone No. (41-22) 338.83.38
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## PATENT COOPERATION TREATY

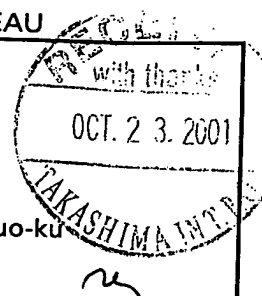
**PCT**  
**NOTIFICATION OF TRANSMITTAL**  
**OF COPIES OF TRANSLATION**  
**OF THE INTERNATIONAL PRELIMINARY**  
**EXAMINATION REPORT**

(PCT Rule 72.2)

From the INTERNATIONAL BUREAU

To:

TAKASHIMA, Hajime  
 Yuki Bldg.  
 3-9, Hiranomachi 3-chome, Chuo-ku  
 Osaka-shi, Osaka 541-0046  
 JAPON



Date of mailing (day/month/year) 08 October 2001 (08.10.01)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference 09354	
International application No. PCT/JP00/03279	International filing date (day/month/year) 22 May 2000 (22.05.00)
Applicant WELFIDE CORPORATION et al	

**1. Transmittal of the translation to the applicant.**

The International Bureau transmits herewith a copy of the English translation made by the International Bureau of the international preliminary examination report established by the International Preliminary Examining Authority.

**2. Transmittal of the copy of the translation to the elected Offices.**

The International Bureau notifies the applicant that copies of that translation have been transmitted to the following elected Offices requiring such translation:

EP,AT,AU,CA,CH,CN,CZ,FI,NO,NZ,PL,RO,RU,SK,US

The following elected Offices, having waived the requirement for such a transmittal at this time, will receive copies of that translation from the International Bureau only upon their request:

AP,EA,AE,AG,AL,AM,AZ,BA,BB,BG,BR,BY,CR,CU,DE,DK,DM,DZ,EE,ES,GB,GD,GE,GH,GM,HR,HU, ID,IL,IN,IS,JP,KE,KG,KR,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MN,MW,MX,MZ,PT,SD,SE, SG,SI,SL,TJ,TM,TR,TT,TZ,UA,UG,UZ,VN,YU,ZA,ZW,OA

**3. Reminder regarding translation into (one of) the official language(s) of the elected Office(s).**

The applicant is reminded that, where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report.

It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned (Rule 74.1). See Volume II of the PCT Applicant's Guide for further details.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer  Elliott PERETTI  Telephone No. (41-22) 338.83.38
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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/03279

## A. CLASSIFICATION OF SUBJECT MATTER

Int. Cl.<sup>7</sup> C07D209/42, 207/36, 233/96, 263/22, 307/33, 307/46, 401/12, 401/14, 405/12, 405/14, 407/12, 409/12, 409/14, C07D413/12, 413/14, 417/12, 417/14, 491/107, A61K31/404, 4166, 421, 438, 4525, 453, 4535, 454, 496, 5377, A61P25/24, 43/00, 25/00, 9/00, 1/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int. Cl.<sup>7</sup> C07D209/42, 207/36, 233/96, 263/22, 307/33, 307/46, 401/12, 401/14, 405/12, 405/14, 407/12, 409/12, 409/14, C07D413/12, 413/14, 417/12, 417/14, 491/107, A61K31/404, 4166, 421, 438, 4525, 453, 4535, 454, 496, 5377, A61P25/24, 43/00, 25/00, 9/00, 1/00

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CA, REGISTRY (STN)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	JP, 7-17952, A (Nissan Chemical Industries, Ltd.), 20 January, 1995 (20.01.95) (Family: none)	1, 2, 4, 6, 7, 9, 11, 13 3, 5, 8, 10, 12, 14-19
X	EP, 905133, A1 (Kowa Co. Ltd.), 31 March, 1991 (31.03.91) & JP, 11-158175, A	1, 2, 4, 11, 13
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☒ Further documents are listed in the continuation of Box C.☐ See patent family annex.

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Date of the actual completion of the international search  
26 July, 2000 (26.07.00)

Date of mailing of the international search report  
08 August, 2000 (08.08.00)

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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/03279

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